CLAIMS

1. A quinazoline derivative represented by general formula (I) below, or a salt thereof, or a hydrate or solvate thereof:

[Chem. 1]

[in the formula, m denotes an integer from 0 to 3, R^1 denotes a hydrogen atom, halogen atom, hydroxy group, cyano group, nitro group, trifluoromethyl group, C_1 to C_5 alkyl group, C_1 to C_5 alkoxy group, $-S(O)_f R^{12}$ (in the formula, f denotes an integer from 0 to 2, R^{12} denotes a C_1 to C_5 alkyl group), $-NR^{13}R^{14}$ (in the formula, R^{13} and R^{14} each individually denotes a hydrogen atom, C_1 to C_5 alkyl group, C_1 to C_5 alkanoyl group, or C_1 to C_5 alkyl group), C_2 to C_5 alkenyl group, and either one of C_5 and C_5 denotes general formula (II) below

[Chem. 2]

$$-NHCO-CH \xrightarrow{\mathbb{R}^4} \mathbb{R}^5$$

$$\mathbb{R}^7$$
(II)

(in the formula, R^4 , R^5 and R^6 each individually denotes a hydrogen atom, C_1 to C_5 alkyl group that may have substituents, C_7 to C_{12} aralkyl group that may have substituents, or C_6 to C_{10} aryl group that may have substituents, R^7 denotes $-SO_2R^{15}$, $-SOR^{15}$, or $-OR^{15}$ (in the formula, R^{15} denotes a C_1 to C_5 alkyl group that may have substituents, C_7 to C_{12} aralkyl group that may have substituents, or C_6 to C_{10} aryl group that may have substituents) and the remaining one of R^2 and R^3 denotes an iodine atom or general formula (III) below:

[Chem. 3]

$$\frac{\mathbb{R}^{8}}{\mathbb{R}^{9}} \left(\frac{\mathbb{R}^{10}}{\mathbb{R}^{11}} \right)^{p}$$
 (III)

(in the formula, R^8 and R^9 each individually denotes a hydrogen atom, or a C_1 to C_5 alkyl group that may be substituted with a hydroxyl group or C_1 to C_5 alkoxy group, p denotes an integer from 0 to 3, R^{10} and R^{11} each individually denotes a hydrogen atom or C_1 to C_5 alkyl group, Y denotes a hydrogen atom, hydroxyl group, C_1 to C_5 alkoxy group, C_1 to C_5 alkanoyloxy group, piperazin-1-yl that has a C_1 to C_5 alkyl group that may be substituted at the 4-position, or an amino that is di-substituted with C_1 to C_5 alkyls that may be substituted), and herein, when m denotes 2 or 3, R^1 may be the same or different.]

2. The quinazoline derivative, salt thereof, or hydrate or solvate thereof according to Claim 1, wherein m is 2, R¹ is a halogen atom, R² is -NHCO-CH₂-CH₂-R⁷ (in the formula, R⁷ denotes a methylsulfonyl group, benzenesulfonyl group, phenyloxy group, phenylthio group, or methylthio group), and R³ is an iodine atom or general formula (IV) below:

[Chem. IV]

$$\frac{}{} \frac{}{R_{g_i}} \lambda. \quad (IA)$$

(in the formula, R^{8'} and R^{9'} each individually denotes a hydrogen atom, methyl group, ethyl group, propyl group, or isopropyl group, and Y' denotes a morpholino group or 4-methylpiperazin-1-yl).

3. The quinazoline derivative, salt thereof, or hydrate or solvate thereof according to either Claim 1 or 2, selected from a group consisting of the following compounds:

N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenylsulfonyl)propanamide, N-

{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenyloxy)propanamide, N-{4-[(3-chloro-4-fluorophenyl)amino]-7-[3-methyl-3-(4-methyl-1-piperazinyl)-1-butynyl]-6-quinazolinyl}-3-(phenylsulfonyl)propanamide, N-{4-[(3-chloro-4-fluorophenyl)amino]-7-[3-methyl-3-(4-methyl-1-piperazinyl)-1-butynyl]-6-quinazolinyl}-3-(phenyloxy)propanamide.

- 4. The quinazoline derivative, salt thereof, or hydrate or solvate thereof according to Claim 3, wherein the compound is N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenylsulfonyl)propanamide.
- 5. A method for preparing the quinazoline derivative represented by general formula (I) of Claim 1 [where either of R² and R³ denotes general formula (II) of Claim 1, and the other of R² and R³ denotes general formula (III) of Claim 1], salt thereof, or hydrate or solvate thereof, by allowing the quinazoline derivative represented by general formula (V) below:

[Chem. 5]

$$\mathbb{R}^{2n} \xrightarrow{\text{HN}} \mathbb{N} (\mathbb{R}^{1}) m$$

[in the formula, m and R¹ are the same as in Claim 1, either one of R^{2a} and R^{3a} is defined the same as in general formula (II) of Claim 1, and the other of R^{2a} and R^{3a} denotes an iodine atom],

or salt thereof, or hydrate or solvate thereof to react with a compound represented by general formula (VI) below:

[Chem. 6]

$$H = \frac{R^6}{R^9} \left(\frac{R^{10}}{R^{11}} \right)_p Y \quad (VI)$$

(in the formula, R⁸, R⁹, R¹⁰, R¹¹, Y and p are defined the same as in Claim 1), or salt thereof, or hydrate or solvate thereof.

- 6. The preparation method according to Claim 5, wherein m is 2, R¹ is a halogen atom, R^{2a} is NHCO-CH₂-CH₂-R⁷ (in the formula, R⁷ denotes a methylsulfonyl group, benzenesulfonyl group, phenylthio group, or methylthio group), and R³ is an iodine atom.
- 7. The preparation method according to Claim 5, wherein the quinazoline derivative represented by general formula (V) is N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenylsulfonyl)propanamide or N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenyloxy)propanamide.
- 8. The preparation method according to Claim 7, wherein the quinazoline derivative is N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenylsulfonyl)propanamide.
- 9. A method for preparing the compound represented by general formula (III) of Claim 1, a pharmaceutically acceptable salt thereof, or a hydrate or solvate thereof, using any of the compounds recited in Claim 1-4, represented by general formula (VII) below:

[Chem. 7]

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

[in the formula, m and R¹ are defined the same as in Claim 1, either one of R¹⁶ and R¹⁷ denotes -NHCO-CR⁴=CR⁵R⁶ (in the formula, R⁴, R⁵, and R⁶ are defined the same as in Claim 1), and the

other one of R¹⁶ and R¹⁷ is.

- 10. The preparation method according to Claim 9, wherein m is 2, R¹ is a halogen, R² is NHCO-CH₂CH₂-R⁷, R¹⁶ is -NHCO-CH=CH₂, and R³ and R¹⁷ are general formula (IV) of Claim 2.
- 11. The preparation method according to Claim 10, wherein R^{8'} and R^{9'} each individually is a methyl group, and Y' is 4-methylpiperazin-1-yl.
- 12. The preparation method for the compound represented by general formula (VII) of Claim 9, salt thereof, or hydrate or solvate thereof comprising the preparation method according to any of Claims 5 to 11.
- 13. The preparation method according to Claim 12, wherein m is 2, R¹ is a halogen, R² is NHCO-CH₂CH₂-R⁷, R¹⁶ is -NHCO-CH=CH₂, and R³ and R¹⁷ are general formula (IV) of Claim 2.
- 14. The preparation method according to Claim 12, wherein R^{8'} and R^{9'} each individually is a methyl group, and Y' is 4-methylpiperazin-1-yl.
- 15. The compound represented by general formula (VIII) below:

[Chem. 8]

[in the formula, either of R¹⁸ and R¹⁹ denotes a nitro group, amino group, hydroxyamino group, or -NHCO-CH₂CH₂-R^{7'} (in the formula, R^{7'} denotes a methylsulfonyl group, benzenesulfonyl group, phenyloxy group, phenylthio group, or methylthio group), and the remaining one of R¹⁸ and R¹⁹ denotes an iodine atom, and R²⁰ denotes a hydrogen atom, 3,4-dimethoxybenzyl group, 4-methoxybenzyl group, benzyloxymethyl group, or trifluoroacetyl group],

a salt thereof, or a hydrate or solvate thereof.

16. A compound, salt thereof, or hydrate or solvate thereof according to Claim 15, selected from a group consisting of the following compounds:

7-iodo-3-(4-methoxybenzyl)-6-nitro-4-quinazolinone, 6-amino-7-iodo-3-(4-methoxybenzyl)-4-quinazolinone, N-[7-iodo-3-(4-methoxybenzyl)-4-oxo-3,4-dihydro-6-quinazolinyl]-3-(phenylsulfonyl)propanamide, N-[7-iodo-3-(4-methoxybenzyl)-4-oxo-3,4-dihydro-6-quinazolinyl)-3-(phenyloxy)propanamide, N-(7-iodo-4-oxo-3,4-dihydro-6-quinazolinyl)-3-(phenyloxy)propanamide, and N-(7-iodo-4-oxo-3,4-dihydro-6-quinazolinyl)-3-(phenyloxy)propanamide.

- 17. The compound, salt thereof, or hydrate or solvate thereof according to Claim 16, wherein the compound is 7-iodo-3-(4-methoxybenzyl)-6-nitro-4-quinazolinone, 6-amino-7-iodo-3-(4-methoxybenzyl)-4-quinazolinone, N-[7-iodo-3-(4-methoxybenzyl)-4-oxo-3,4-dihydro-6-quinazolinyl]-3-(phenylsulfonyl)propanamide, or N-(7-iodo-4-oxo-3,4-dihydro-6-quinazolinyl)-3-(phenylsulfonyl)propanamide.
- 18. The preparation method for the compound of general formula (I) in Claim 1 which uses any of the compounds according to any of Claims 15 to 17.
- 19. The preparation method according to Claim 18, wherein m is 2, R¹ is a halogen atom, R² is NHCO-CH₂-CH₂-R⁷ (in the formula, R⁷ denotes a methylsulfonyl group, benzenesulfonyl group, phenyloxy group, phenylthio group, or methylthio group), and R³ is an iodine atom or general

formula (IV) below:

[Chem. 9]

(in the formula, R^{8'} and R^{9'} each individually denotes a hydrogen atom, methyl group, ethyl group, propyl group, or isopropyl group, and Y' denotes a morpholino group or 4-methylpiperazin-1-yl).

- 20. The preparation method according to Claim 18, wherein the compound is N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenylsulfonyl)propanamide, N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenyloxy)propanamide, N-{4-[(3-chloro-4-fluorophenyl)amino]-7-[3-methyl-3-(4-methyl-1-piperazinyl)-1-butynyl]-6-quinazolinyl}-3-(phenylsulfonyl)propanamide, or N-{4-[(3-chloro-4-fluorophenyl)amino]-7-[3-methyl-3-(4-methyl-1-piperazinyl)-1-butynyl]-6-quinazolinyl}-3-(phenyloxy)propanamide.
- 21. The preparation method according to Claim 18, wherein the compound is N-{4-[(3-chloro-4-fluorophenyl)amino]-7-iodo-6-quinazolinyl}-3-(phenylsulfonyl)propanamide.
- 22. The method for preparing a compound represented by general formula (V) according to Claim 5, comprising a step in which a compound represented by general formula (IX) below:

[Chem. 10]

$$\mathbb{R}^{18} \longrightarrow \mathbb{N}^{-H}$$
 (IX)

[in the formula, either one of R^{18} and R^{19} denotes general formula (II) of Claim 1, and the remaining one of R^{18} and R^{19} denotes an iodine atom]

is chlorinated to produce a compound represented by general formula (X) below:

[Chem. 11]

(in the formula, R¹⁸ and R¹⁹ are defined the same as above), and a step in which a compound represented by general formula (XI) below:

[Chem. 12]

$$H_2N$$
 $(R^1)m$ (XI

(in the formula, m and R¹ are the defined same as in Claim 1)

23. A quinazoline derivative represented by general formula (XII) below:

[Chem. 13]

is added.

$$\mathbb{R}^{21} \longrightarrow \mathbb{N} \mathbb{R}^{1} \mathbb{M}$$

$$\mathbb{R}^{22} \longrightarrow \mathbb{N} \mathbb{N}$$

$$(X 11)$$

(in the formula, m and R¹ are defined the same as in Claim 1, either one of R²¹ and R²² denotes an amino group or nitro group, and the remaining one of R²¹ and R²² denotes an iodine atom),

a salt thereof, or a hydrate or solvate thereof.

24. A method for preparing a compound represented by general formula (I) of Claim 1, a pharmaceutically acceptable salt thereof, a hydrate or solvate thereof, wherein the nitro group of a compound wherein either one of R²¹ and R²² in general formula (XII) of Claim 23 is a nitro group and the other one of R²¹ and R²² is an iodine atom is changed to an amino group, whereupon a reaction is allowed to occur with a compound of general formula (XIII) below:

[Chem. 14]

(in the formula, R^4 , R^5 , R^6 and R^7 are defined the same as in Claim 1).